## In the Claims

## 1-18 (canceled).

- 19 (Withdrawn). A method of identifying a candidate molecule for the treatment of a CNS disorder, said method comprising:
- (a) contacting a D-amino acid oxidase (DAO) or D-aspartate oxidase (DDO) polypeptide or a biologically active fragment thereof with a test compound; and
  - (b) determining whether said compound
    - (i) binds to said polypeptide; or
    - (ii) reduces the activity of said polypeptide; and
- (c) if said compound binds to said polypeptide reduces the activity of said polypeptide, administering said compound to an animal model of schizophrenia, depression or bipolar disorder, wherein a determination that said compound ameliorates a characteristic representative of CNS disorder in said animal model indicates that said compound is a candidate molecule for the treatment of a CNS disorder.
- 20 (Previously Presented). A method of identifying a candidate molecule for the treatment of schizophrenia, depression or bipolar disorder, said method comprising:
- (a) contacting a DAO or DDO polypeptide or a biologically active fragment thereof with a test compound; and
  - (b) determining whether said compound
    - (i) selectively reduces the activity of said polypeptide; or
    - (ii) selectively binds said polypeptide;

wherein a test compound that selectively reduces the activity of or selectively binds to said polypeptide is identified as a candidate molecule for the treatment of schizophrenia, depression or bipolar disorder.

21 (Previously Presented). A method of screening for antagonists of a DAO or a DDO polypeptide, comprising the steps of:

- (a) contacting a test compound with a DAO or DDO polypeptide selected from the group consisting of;
- (i) a polypeptide comprising a polypeptide encoded by a nucleic acid sequence selected from the group consisting of SEQ ID NOS: 2 to 6, 19 and 20;
- (ii) a polypeptide comprising a polypeptide sequence selected from the group consisting of SEQ ID NOS: 7 to 10, 21 and 22;
  - (b) detecting the level of DAO activity; and
- (c) comparing the activity to the activity of a control test without the test compound, whereby a decrease in the level of the DAO or DDO activity over the control indicates that the test compound is an antagonist of DAO or DDO.
- 22 (Withdrawn). A method of screening for compounds that reduce the expression of the DAO or DDO mRNA or polypeptide, comprising the steps of:
- (a) incubating cells expressing a DAO or a DDO polypeptide selected from the group consisting of:
- (i) a polypeptide comprising a polypeptide encoded by a nucleic acid sequence selected from the group consisting of SEQ ID NOS: 2 to 6, 19 and 20;
- (ii) a polypeptide comprising a polypeptide sequence selected from the group consisting of SEQ ID NOS: 7 to 10, 21 and 22;
  - (I) in the presence and (II) in the absence of a test compound; and
  - (b) detecting the level of the DAO or DDO mRNA or polypeptide in the cells.
- 23 (Withdrawn). A method of assessing a candidate molecule for the treatment of a CNS disorder, said method comprising:
  - (a) providing a test DAO-inhibitor or DDO-inhibitor compound; and
- (b) administering said compound to an animal model of schizophrenia or bipolar disorder, wherein a determination that said compound ameliorates a characteristic representative of a CNS disorder in said animal model indicates that said compound is a candidate molecule for the treatment of a CNS disorder; and alternatively one or more of the following:

- (i) wherein said compound selectively binds to said polypeptide;
- (ii) wherein said compound selectively reduces the activity of said polypeptide;
- (iii) wherein said compound reduces the oxidation or degradation of a D-amino acid selected from the group consisting of D-Met, D-Pro, D-Phe, D-Tyr, D-Ile, D-Leu, D-Ala, D-Val, D-Ser, D-Arg, D-His, D-norleucine, D-Trp, D-Ornithine, cis-4-hydroxy-D-proline, D-Thr, D-Trp-methyl ester, N-acetyl-D-Ala, D-Lys, D-Asp, D-Glu, D-Asn, D-Gln, D-Asp-dimethyl-ester and N-methyl-D-Asp.

24 (Withdrawn). A method of treating an individual suffering from schizophrenia, depression or bipolar disorder comprising administering to said individual a therapeutically effective amount of a composition comprising a compound that reduces the conversion of a D-amino acid into the corresponding  $\alpha$ -keto acid, wherein the compound can be identified according to the methods of claims 19-23.

25 (Withdrawn). The method according to claim 24, wherein said compound reduces the activity of a DAO or DDO polypeptide.

26 (Withdrawn). The method according to claim 24, wherein said compound is capable of reducing the oxidation or degradation of D-serine.

27 (Withdrawn). The method according to claim 24, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;

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vii.
       4-aminobenzoate;
viii.
       methylglyoxal bis(guanylhydrazone);
       methylglyoxal bis(guanylhydrazone) dihydrochloride;
ix.
       phenylglyoxal bis(guanylhydrazone) (PhGBG);
X.
       glyoxal bis(guanylhydrazone);
xi.
xiii.
       3-indole-acetic acid;
       indole-3-acetic acid;
xiv.
XV.
       indole-3-acetone;
       indole-3-acetamide;
xvi.
       indole-3-acetyl-L-aspartic acid;
xvii.
xviii. indole-3-acetyl-L-alanine;
       indole-3-acetylglycine;
xix.
       indole-3-acetaldehyde sodium bisulfite;
XX.
xxi.
       indole-3-carboxylic acid;
       indole-3-pyruvic acid;
xxii.
xxiii. salicylic acid;
xxiv. salicylic acid sodium salts;
       salicylic acid potassium salts;
XXV.
xxvi. dansyl chloride;
xxvii. dansyl fluoride;
xxviii. dansyl glycine;
xxix. alanine tetrazole;
       benzoic tetrazole;
XXX.
xxxi. tetrazole;
xxxii. riboflavin 5'-pyrophosphate;
xxxiii. D, L-propargylglycine;
xxxiv. L-C-propargylglycine;
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xxxv. N-acetyl-DL-proparglyglycine;

xxxvi. (±)-sodium 3-hydroxybutyrate;

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xxxvii. trigonelline hydrochloride;
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xxxviii. N-methylnicotinate;

xxxix. methyl 6 -methylnicotinate;

xl. ethyl 2-methylnicotinate;

xli. kojic acid;

xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;

xliii. O-(2,4-dinitrophenyl)hydroxylamine;

xliv. 2,4-dinirophenyl glycine;

xlv. hydroxylamine hydrochloride;

xlvi. methyl-p-nitrobenzenesulfonate;

xlvii. aminoethylcysteine-ketimine;

xlviii. 1,4-thiazine derivatives;

xlix. 4-phenyl-1,4-sulfonazan;

1. phenothiazine;

li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;

lii. nifurtimox;

liii. 3-(1-pyrrolidinylmethy)-4-(5,6-dichloro-1-indancarbonyl)-tetrahydro-1,4-thiazine hydrochloride;

liv. ketimine reduced forms;

lv. cystathionine;

lvi. cystathionine ketimine;

lvii. lanthionine ketimine;

lviii. thiomorpholine-2-carboxylic acid;

lix. thiomorpholine-2,6-dicarboxylic acid;

lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);

lxi. 1-chloro-1-nitroethane;

lxii. anthranilate;

lxiii. ethyl 2-aminobenzoate;

lxiv. methyl 2-aminobenzoate;

lxv. picolinate;

lxvi. ethyl picolinate;

lxvii. L-leucine methyl ester hydrochloride;

lxviii. L-leucine;

lxix. flurodinitrobenzene;

lxx. dinitrochlorobenzene;

lxxi. 1,2-cyclohexanedione;

lxxii. allyglycine;

lxxiii. 2-amino-2,4-pentadienoate;

lxxiv. 2-hydroxy-2,4-pentadienoate;

lxxv. 2-amino-4-keto-2-pentenoate;

lxxvi. 2-hydroxybutyrate;

lxxvii. sodium 2-hydroxybutyrate;

lxxviii. N-chloro-D-leucine;

lxxix. N-acetyl-D-leucine;

lxxx. D-2-amino-4-methylpentanoic acid;

lxxxi. D, L-propargylglycine;

lxxxii. progesterone;

lxxxiii. FAD (flavin adenine dinucleotide);

lxxxiv. 6-OH-FAD;

lxxxv. phenylglyoxal;

lxxxvi. phenylglyoxal monohydrate;

lxxxvii. cyclothionine;

lxxxviii. alpha-alpha'-iminodipropionic;

lxxxix. meso-diaminosuccinic acid;

xc. thiosemicarbazide;

xci. thiourea;

xcii. methylthiouracil;

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xciii. sulphathiazole;
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xciv. sulfathiazole Salt;

xcv. thiocyanate;

xcvi. 3-methylbenzyl thiocyanate;

xcvii. methimazole;

xcviii. dicarboxylic hydroxyacids;

xcix. 1,3-acetonedicarboxylic acid;

c. D-tartaric acid;

ci. L-tartaric acid;

cii. D, L-tartaric acid;

ciii. potassium tartarate;

civ. D-malic acid;

cv. L-malic acid;

cvi. D, L-malic acid;

cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylanaline, phenylglycine, tyrosine, serine, aspartate, and salts thereof;

cviii. pyruvic acid;

cix. sodium pyruvate;

cx. pyruvic acid methyl ester;

cxi. phenylpyruvic acid;

cxii. calcium phenylpyruvate;

exiii. phenylpyruvic acid sodium salt;

cxiv. 4-hydroxyphenyl pyruvic acid;

cxv. sodium alpha-ketoisovaleric acid;

cxvi. benzoylformic acid);

cxvii. 4-methylthio-2-oxopentanoic acid;

cxviii. 4-methyl-2-oxopentanoic acid;

cxix. 4-methylthio-2-oxybutanoic acid;

cxx. 2-oxybutanoic acid;

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cxxi. D, L-alpha-hydroxybutyric acid sodium salt;
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cxxii. indole-3-pyruvic acid;

cxxiii. cysteamine;

cxxiv. pantetheine;

cxxv. S-adenosylmethionine;

cxxvi. ethyl bromopyruvate;

cxxvii. methyl bromopyruvate;

cxxviii. bromopyruvate; and

cxxix. 5-S-cysteinyldopamine.

28 (Withdrawn). The method according to claim 25, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanylhydrazone);
- ix. methylglyoxal bis(guanylhydrazone)dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;

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xix. indole-3-acetylglycine;
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xx. indole-3-acetaldehyde sodium bisulfite;

xxi. indole-3-carboxylic acid;

xxii. indole-3-pyruvic acid;

xxiii. salicylic acid;

xxiv. salicylic acid sodium salts;

xxv. salicylic acid potassium salts;

xxvi. dansyl chloride;

xxvii. dansyl fluoride;

xxviii. dansyl glycine;

xxix. alanine tetrazole;

xxx. benzoic tetrazole;

xxxi. tetrazole;

xxxii. riboflavin 5'-pyrophosphate;

xxxiii. D, L-propargylglycine;

xxxiv. L-C-propargylglycine;

xxxv. N-acetyl-DL-proparglyglycine;

xxxvi. (±)-sodium 3-hydroxybutyrate;

xxxvii. trigonelline hydrochloride;

xxxviii. N-methylnicotinate;

xxxix. methyl 6 -methylnicotinate;

xl. ethyl 2-methylnicotinate;

xli. kojic acid;

xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;

xliii. O-(2,4-dinitrophenyl)hydroxylamine;

xliv. 2,4-dinirophenyl glycine;

xlv. hydroxylamine hydrochloride;

xlvi. methyl-p-nitrobenzenesulfonate;

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xlvii. aminoethylcysteine-ketimine;
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xlviii. 1,4-thiazine derivatives;

xlix. 4-phenyl-1,4-sulfonazan;

l. phenothiazine;

li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;

lii. nifurtimox;

liii. 3-(1-pyrrolidinylmethy)-4-(5,6-dichloro-1-indancarbonyl)-tetrahydro-1,4-thiazine hydrochloride;

liv. ketimine reduced forms;

lv. cystathionine;

lvi. cystathionine ketimine;

lix. lanthionine ketimine;

lx. thiomorpholine-2-carboxylic acid;

lix. thiomorpholine-2,6-dicarboxylic acid;

lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);

lxi. 1-chloro-1-nitroethane;

lxii. anthranilate;

lxiii. ethyl 2-aminobenzoate;

lxiv. methyl 2-aminobenzoate;

lxv. picolinate;

lxvi. ethyl picolinate;

lxvii. L-leucine methyl ester hydrochloride;

lxviii. L-leucine;

lxix. flurodinitrobenzene;

lxx. dinitrochlorobenzene;

lxxi. 1,2-cyclohexanedione;

lxxii. allyglycine;

lxxiii. 2-amino-2,4-pentadienoate;

lxxiv. 2-hydroxy-2,4-pentadienoate;

lxxv. 2-amino-4-keto-2-pentenoate;

lxxvi. 2-hydroxybutyrate;

lxxvii. sodium 2-hydroxybutyrate;

lxxviii. N-chloro-D-leucine;

lxxix. N-acetyl-D-leucine;

lxxx. D-2-amino-4-methylpentanoic acid;

lxxxi. D, L-propargylglycine;

lxxxii. progesterone;

lxxxiii. FAD (flavin adenine dinucleotide);

lxxxiv. 6-OH-FAD;

lxxxv. phenylglyoxal;

lxxxvi. phenylglyoxal monohydrate;

lxxxvii. cyclothionine;

lxxxviii. alpha-alpha'-iminodipropionic;

lxxxix. meso-diaminosuccinic acid;

xc. thiosemicarbazide;

xci. thiourea;

xcii. methylthiouracil;

xciii. sulphathiazole;

xciv. sulfathiazole Salt;

xcv. thiocyanate;

xcvi. 3-methylbenzyl thiocyanate;

xcvii. methimazole;

xeviii. dicarboxylic hydroxyacids;

xcix. 1,3-acetonedicarboxylic acid;

c. D-tartaric acid;

ci. L-tartaric acid;

cii. D, L-tartaric acid;

ciii. potassium tartarate;

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civ. D-malic acid;
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cv. L-malic acid;

cvi. D, L-malic acid;

cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylanaline, phenylglycine, tyrosine, serine, aspartate, and salts thereof;

cviii. pyruvic acid;

cix. sodium pyruvate;

cx. pyruvic acid methyl ester;

cxi. phenylpyruvic acid;

cxii. calcium phenylpyruvate;

cxiii. phenylpyruvic acid sodium salt;

cxiv. 4-hydroxyphenyl pyruvic acid;

cxv. sodium alpha-ketoisovaleric acid;

cxvi. benzoylformic acid);

cxvii. 4-methylthio-2-oxopentanoic acid;

cxviii. 4-methyl-2-oxopentanoic acid;

cxix. 4-methylthio-2-oxybutanoic acid;

exx. 2-oxybutanoic acid;

exxi. D, L-alpha-hydroxybutyric acid sodium salt;

cxxii. indole-3-pyruvic acid;

cxxiii. cysteamine;

cxxiv. pantetheine;

cxxv. S-adenosylmethionine;

cxxvi. ethyl bromopyruvate;

cxxvii. methyl bromopyruvate;

cxxviii. bromopyruvate; and

cxxix. 5-S-cysteinyldopamine.

29 (Withdrawn). The method according to claim 26, wherein the compound is selected from the group consisting of:

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i. 2-oxo-3pentynoate;
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ii. aminoguanidine or salts thereof;

iii. benzoic acid;

iv. sodium benzoate;

v. 2-aminobenzoate;

vi. 3-aminobenzoate;

vii. 4-aminobenzoate;

viii. methylglyoxal bis(guanylhydrazone);

ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;

x. phenylglyoxal bis(guanylhydrazone) (PhGBG);

xi. glyoxal bis(guanylhydrazone);

xiii. 3-indole-acetic acid;

xiv. indole-3-acetic acid;

xv. indole-3-acetone;

xvi. indole-3-acetamide;

xvii. indole-3-acetyl-L-aspartic acid;

xviii. indole-3-acetyl-L-alanine;

xix. indole-3-acetylglycine;

xx. indole-3-acetaldehyde sodium bisulfite;

xxi. indole-3-carboxylic acid;

xxii. indole-3-pyruvic acid;

xxiii. salicylic acid;

xxiv. salicylic acid sodium salts;

xxv. salicylic acid potassium salts;

xxvi. dansyl chloride;

xxvii. dansyl fluoride;

xxviii. dansyl glycine;

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xxix. alanine tetrazole;
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xxx. benzoic tetrazole;

xxxi. tetrazole;

xxxii. riboflavin 5'-pyrophosphate;

xxxiii. D, L-propargylglycine;

xxxiv. L-C-propargylglycine;

xxxv. N-acetyl-DL-proparglyglycine;

xxxvi. (±)-sodium 3-hydroxybutyrate;

xxxvii. trigonelline hydrochloride;

xxxviii. N-methylnicotinate;

xxxix. methyl 6 -methylnicotinate;

xl. ethyl 2-methylnicotinate;

xli. kojic acid;

xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;

xliii. O-(2,4-dinitrophenyl)hydroxylamine;

xliv. 2,4-dinirophenyl glycine;

xlv. hydroxylamine hydrochloride;

xlvi. methyl-p-nitrobenzenesulfonate;

xlvii. aminoethylcysteine-ketimine;

xlviii. 1,4-thiazine derivatives;

xlix. 4-phenyl-1,4-sulfonazan;

l. phenothiazine;

li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;

lii. nifurtimox:

liii. 3-(1-pyrrolidinylmethy)-4-(5,6-dichloro-1-indancarbonyl)-tetrahydro-1,4-thiazine hydrochloride;

liv. ketimine reduced forms;

lv. cystathionine;

lvi. cystathionine ketimine;

lxi. lanthionine ketimine;

lxii. thiomorpholine-2-carboxylic acid;

lix. thiomorpholine-2,6-dicarboxylic acid;

lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);

lxi. 1-chloro-1-nitroethane;

lxii. anthranilate;

lxiii. ethyl 2-aminobenzoate;

lxiv. methyl 2-aminobenzoate;

lxv. picolinate;

lxvi. ethyl picolinate;

lxvii. L-leucine methyl ester hydrochloride;

lxviii. L-leucine;

lxix. flurodinitrobenzene;

lxx. dinitrochlorobenzene;

lxxi. 1,2-cyclohexanedione;

lxxii. allyglycine;

lxxiii. 2-amino-2,4-pentadienoate;

lxxiv. 2-hydroxy-2,4-pentadienoate;

lxxv. 2-amino-4-keto-2-pentenoate;

lxxvi. 2-hydroxybutyrate;

lxxvii. sodium 2-hydroxybutyrate;

lxxviii. N-chloro-D-leucine;

lxxix. N-acetyl-D-leucine;

lxxx. D-2-amino-4-methylpentanoic acid;

lxxxi. D, L-propargylglycine;

lxxxii. progesterone;

lxxxiii. FAD (flavin adenine dinucleotide);

lxxxiv. 6-OH-FAD;

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lxxxv. phenylglyoxal;
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lxxxvi. phenylglyoxal monohydrate;

lxxxvii. cyclothionine;

lxxxviii. alpha-alpha'-iminodipropionic;

lxxxix. meso-diaminosuccinic acid;

xc. thiosemicarbazide;

xci. thiourea;

xcii. methylthiouracil;

xciii. sulphathiazole;

xciv. sulfathiazole Salt;

xcv. thiocyanate;

xcvi. 3-methylbenzyl thiocyanate;

xcvii. methimazole;

xeviii. dicarboxylic hydroxyacids;

xcix. 1,3-acetonedicarboxylic acid;

c. D-tartaric acid;

ci. L-tartaric acid;

cii. D, L-tartaric acid;

ciii. potassium tartarate;

civ. D-malic acid;

ev. L-malic acid;

cvi. D, L-malic acid;

cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylanaline, phenylglycine, tyrosine, serine, aspartate, and salts thereof;

cviii. pyruvic acid;

cix. sodium pyruvate;

cx. pyruvic acid methyl ester;

cxi. phenylpyruvic acid;

cxii. calcium phenylpyruvate;

cxiii. phenylpyruvic acid sodium salt;

cxiv. 4-hydroxyphenyl pyruvic acid;

cxv. sodium alpha-ketoisovaleric acid;

cxvi. benzoylformic acid);

cxvii. 4-methylthio-2-oxopentanoic acid;

cxviii. 4-methyl-2-oxopentanoic acid;

cxix. 4-methylthio-2-oxybutanoic acid;

cxx. 2-oxybutanoic acid;

cxxi. D, L-alpha-hydroxybutyric acid sodium salt;

cxxii. indole-3-pyruvic acid;

cxxiii. cysteamine;

cxxiv. pantetheine;

cxxv. S-adenosylmethionine;

cxxvi. ethyl bromopyruvate;

cxxvii. methyl bromopyruvate;

cxxviii. bromopyruvate; and

cxxix. 5-S-cysteinyldopamine.

30 (Currently Amended). The method according to claim 19, 20, 21, 22, or 23 20 or 21, wherein said test compound is:

(1) a compound represented by the structure:

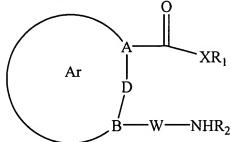
$$Ar$$
 $Ar$ 
 $R_1$ 
 $R_4$ 

or pharmaceutically acceptable salts thereof, wherein:

a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with  $C_1$ - $C_6$  alkyl, halo, hydroxyl or amino;

- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- d) R<sub>4</sub> is H, alkyl, Ar<sup>1</sup>, O, or a substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or a substituted alkyl;
- f) R<sub>2</sub> and R<sub>3</sub> are each independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or aklenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(2) a compound represented by the structure:

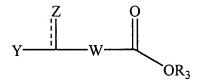


- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(CH_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0 NHR<sub>2</sub> is covalently bound to B;
- c) X is O or N;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or substituted alkyl;
- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(3) a compound represented by the structure:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof:
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N,  $(CH_2)_x$ , or  $-NCH_2$ ;
- e) x=0-4;
- f) n=0-2;

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- g) R<sub>2</sub> and R<sub>3</sub> are each, independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (4) a compound represented by the structure:



- a)  $W=(CH_2)_n$ ;
- b) n=0-5;
- c) Z is oxygen or hydroxyl;
- d) Y=H,  $Ar^1$ ,  $R_4$  (CH<sub>2</sub>)<sub>x</sub>,  $R_1S(CH_2)_{x^{--}}$ ,  $R_1SO(CH_2)_{x^{--}}$ ,  $R_1SO_2(CH_2)_{x^{--}}$ ,  $R_1SO_3(CH_2)_{x^{--}}$ ,  $HNR_1SO_2(CH_2)_{x^{--}}$ ,  $R_1R_2N(CH_2)_x$ ,  $R_1O(CH_2)_{x^{--}}$ ,  $CF_3$ , or OH;
- e) x=0-6;
- f) R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are each independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;

- g) R<sub>4</sub> is a halogen, CN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphote, Ar<sup>1</sup>, --COR<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (5) a compound represented by the structure:

$$Ar^{I}$$
  $W$   $OH$ 

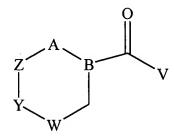
- a) Y is  $Ar^{l}$ ;
- b) Z is a carbonyl or hydroxyl;
- c) W is  $(CH_2)_n$  wherein n = 0, 1, or 2; and
- d) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(6) a compound represented by the structure:

- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1$ ,  $R_2$ ,  $CHR_1R_2$ ,  $CH_2R_3$ ,  $CHR_3R_4$ , or  $CH_2N_3$ ;
- c) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or Ar<sup>1</sup>;
- d) R<sub>3</sub> and R<sub>4</sub> are either halogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, SR<sub>1</sub>, SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and

wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(7) a compound represented by the structure:



- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof:
- b) B is either C, CH, or N;
- c) A, W, Y, Z are each independently CH<sub>2</sub>, CHR<sub>3</sub>, CR<sub>3</sub>R<sub>4</sub>, O, S, SO, SO<sub>2</sub>, NH, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, or C=O;
- d) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1R_2$ ,  $CHR_1R_2$ ,  $CH_2R_3$ ,  $CHR_3R_3$  or  $CH_2N_3$ ;
- e) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- f) R<sub>3</sub> and R<sub>4</sub> are each independently halogen, --OC(O)R<sub>1</sub>, -- COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and

- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (8) a compound represented by the structure:

$$R_2$$
 $H$ 
 $ZR_1$ 

or pharmaceutically acceptable salts thereof, wherein:

- a) Z is O or NH;
- b)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxycarbonylmethyl;
- c) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen,

wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl,

C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl, Ar<sup>1</sup>, or a combination thereof;

- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (9) a compound represented by the structure:

$$R_2$$
 $N$ 
 $*$ 
 $OR_1$ 
 $X$ 
 $H$ 

- a) \* = asymmetric center;
- b)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- c) X is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, or Ar<sup>1</sup>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a

combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

(10) a compound represented by the structure:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, or substituted alkyl groups;
- c)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

(11) a compound represented by the structure:

$$R_2$$
 $N$ 
 $O$ 
 $OR_1$ 

or pharmaceutically acceptable salts thereof, wherein:

- a) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- c) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; or
- (12) a compound represented by the structure:

- a)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- c) Y is H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times; and
- d) X is alkyl or phenyl.

31 (Previously Presented). The method according to claim 30, wherein said compound represented by the structure:

$$\begin{array}{c|c}
A & O \\
\hline
 & B & V
\end{array}$$

is cystathionine ketimine or cyclothionine.

32 (Previously Presented). The method according to claim 30, wherein said compound represented by the structure:

is selected from the group consisting of: aminoethylcysteine-ketimine (2H-1,4-thiazine-5,6-dihydro-3-carboxylic acid), thiomorpholine-2-carboxylic acid, lanthionine ketimine, and 1,4-thiomorpholine-3, 5-dicarboxylic acid.

33 (Withdrawn). A method of treating a central nervous system disorder comprising the administration of a therapeutically effective amount of a compositions comprising a carrier and a compound capable reducing the conversion of a D-amino acid into the corresponding  $\alpha$ -keto acid.

34 (Withdrawn). The method according to claim 33, wherein said compound is selected from the group consisting of:

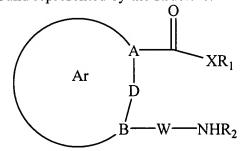
(1) a compound represented by the structure:

$$Ar$$
 $Ar$ 
 $Ar$ 
 $R_1$ 
 $R_2$ 

- a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with C<sub>1</sub>-C<sub>6</sub> alkyl, halo, hydroxyl or amino;
- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub> NR<sub>2</sub> R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub> CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6

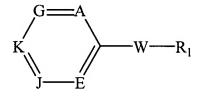
heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- d) R<sub>4</sub> is H, alkyl, Ar<sup>1</sup>, O, or a substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or a substituted alkyl;
- f) R<sub>2</sub> and R<sub>3</sub> are each independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or aklenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (2) a compound represented by the structure:



- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(CH_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0 NHR<sub>2</sub> is covalently bound to B;
- c) X is O or N;

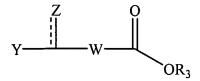
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or substituted alkyl;
- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is aither unsubstituted or substituted in one to these position(s) with halo
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkylor a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (3) a compound represented by the structure:



- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof:
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>,

- --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N,  $(CH_2)_x$ , or  $-NCH_2$ ;
- e) x=0-4;
- f) n=0-2;
- g) R<sub>2</sub> and R<sub>3</sub> are each, independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(4) a compound represented by the structure:



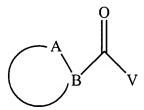
- a)  $W=(CH_2)_n$ ;
- b) n=0-5;
- c) Z is oxygen or hydroxyl;
- d) Y= H,  $Ar^1$ ,  $R_4$  (CH<sub>2</sub>)<sub>x</sub>,  $R_1S(CH_2)_{x^{--}}$ ,  $R_1SO(CH_2)_{x^{--}}$ ,  $R_1SO_2(CH_2)_{x^{--}}$ ,  $R_1SO_3(CH_2)_{x^{--}}$ ,  $HNR_1SO_2(CH_2)_{x^{--}}$ ,  $R_1R_2N(CH_2)_{x}$ ,  $R_1O(CH_2)_{x^{--}}$ , or OH;
- e) x=0-6;
- f) R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are each independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- g) R<sub>4</sub> is a halogen, CN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphote, Ar<sup>1</sup>, --COR<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(5) a compound represented by the structure:

$$Ar^1$$
  $W$   $OH$ 

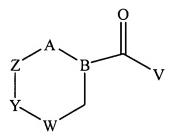
or pharmaceutically acceptable salts thereof, wherein:

- a)  $Y \text{ is } Ar^1$ ;
- b) Z is a carbonyl or hydroxyl;
- c) W is  $(CH_2)_n$  wherein n = 0, 1, or 2; and
- d) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (6) a compound represented by the structure:



- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1$ ,  $R_2$ ,  $CHR_1$ ,  $R_2$ ,  $CH_2$ ,  $R_3$ ,  $CHR_3$ ,  $R_4$ , or  $CH_2$ ,  $R_3$ ;

- c) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or Ar<sup>1</sup>;
- d) R<sub>3</sub> and R<sub>4</sub> are either halogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, SR<sub>1</sub>, SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (7) a compound represented by the structure:



- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof:
- b) B is either C, CH, or N;

- c) A, W, Y, Z are each independently CH<sub>2</sub>, CHR<sub>3</sub>, CR<sub>3</sub>R<sub>4</sub>, O, S, SO, SO<sub>2</sub>, NH, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, or C=O;
- d) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1R_2$ ,  $CHR_1R_2$ ,  $CH_2R_3$ ,  $CHR_3R_3$  or  $CH_2N_3$ ;
- e) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- f) R<sub>3</sub> and R<sub>4</sub> are each independently halogen, --OC(O)R<sub>1</sub>, -- COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

## (8) a compound represented by the structure:

$$R_2$$
 $X$ 
 $Y$ 
 $ZR_1$ 

or pharmaceutically acceptable salts thereof, wherein:

a) Z is O or NH;

- b)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxycarbonylmethyl;
- c) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen,

wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl,  $Ar^1$ , or a combination thereof;

- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (9) a compound represented by the structure:

$$R_2$$
 $N$ 
 $*$ 
 $O$ 
 $OR_1$ 

- a) \* = asymmetric center;
- b)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- c) X is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, or Ar<sup>1</sup>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (10) a compound represented by the structure:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, or substituted alkyl groups;

- c)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (11) a compound represented by the structure:

$$R_2$$
 $N$ 
 $O$ 
 $OR_1$ 

- a) X, Y, independently of one another, are H,  $Ar^1$ ,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, or halogen, wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- c) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and

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wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; and

(12) a compound represented by the structure:

$$R_2$$
—NH  $O$   $OR_1$ 

or pharmaceutically acceptable salts thereof, wherein:

- a)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- c) Y is H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times; and
- d) X is alkyl or phenyl.

35 (Withdrawn). The method according to claim 33, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanylhydrazone);

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ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
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x. phenylglyoxal bis(guanylhydrazone) (PhGBG);

xi. glyoxal bis(guanylhydrazone);

xiii. 3-indole-acetic acid;

xiv. indole-3-acetic acid;

xv. indole-3-acetone;

xvi. indole-3-acetamide;

xvii. indole-3-acetyl-L-aspartic acid;

xviii. indole-3-acetyl-L-alanine;

xix. indole-3-acetylglycine;

xx. indole-3-acetaldehyde sodium bisulfite;

xxi. indole-3-carboxylic acid;

xxii. indole-3-pyruvic acid;

xxiii. salicylic acid;

xxiv. salicylic acid sodium salts;

xxv. salicylic acid potassium salts;

xxvi. dansyl chloride;

xxvii. dansyl fluoride;

xxviii. dansyl glycine;

xxix. alanine tetrazole;

xxx. benzoic tetrazole;

xxxi. tetrazole;

xxxii. riboflavin 5'-pyrophosphate;

xxxiii. D, L-propargylglycine;

xxxiv. L-C-propargylglycine;

xxxv. N-acetyl-DL-proparglyglycine;

xxxvi. (±)-sodium 3-hydroxybutyrate;

xxxvii. trigonelline hydrochloride;

xxxviii. N-methylnicotinate;

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xxxix. methyl 6 -methylnicotinate;
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xl. ethyl 2-methylnicotinate;

xli. kojic acid;

xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;

xliii. O-(2,4-dinitrophenyl)hydroxylamine;

xliv. 2,4-dinirophenyl glycine;

xlv. hydroxylamine hydrochloride;

xlvi. methyl-p-nitrobenzenesulfonate;

xlvii. aminoethylcysteine-ketimine;

xlviii. 1,4-thiazine derivatives;

xlix. 4-phenyl-1,4-sulfonazan;

l. phenothiazine;

li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;

lii. nifurtimox;

liii. 3-(1-pyrrolidinylmethy)-4-(5,6-dichloro-1-indancarbonyl)-tetrahydro-1,4-thiazine hydrochloride;

liv. ketimine reduced forms;

lv. cystathionine;

lvi. cystathionine ketimine;

lvii. lanthionine ketimine;

lviii. thiomorpholine-2-carboxylic acid;

lix. thiomorpholine-2,6-dicarboxylic acid;

lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);

lxi. 1-chloro-1-nitroethane;

lxii. anthranilate:

lxiii. ethyl 2-aminobenzoate;

lxiv. methyl 2-aminobenzoate;

lxv. picolinate;

lxvi. ethyl picolinate;

lxvii. L-leucine methyl ester hydrochloride;

lxviii. L-leucine;

lxix. flurodinitrobenzene;

lxx. dinitrochlorobenzene;

lxxi. 1,2-cyclohexanedione;

lxxii. allyglycine;

lxxiii. 2-amino-2,4-pentadienoate;

lxxiv. 2-hydroxy-2,4-pentadienoate;

lxxv. 2-amino-4-keto-2-pentenoate;

lxxvi. 2-hydroxybutyrate;

lxxvii. sodium 2-hydroxybutyrate;

lxxviii. N-chloro-D-leucine;

lxxix. N-acetyl-D-leucine;

lxxx. D-2-amino-4-methylpentanoic acid;

lxxxi. D, L-propargylglycine;

lxxxii. progesterone;

lxxxiii. FAD (flavin adenine dinucleotide);

lxxxiv. 6-OH-FAD;

lxxxv. phenylglyoxal;

lxxxvi. phenylglyoxal monohydrate;

lxxxvii. cyclothionine;

lxxxviii. alpha-alpha'-iminodipropionic;

lxxxix. meso-diaminosuccinic acid;

xc. thiosemicarbazide:

xci. thiourea;

xcii. methylthiouracil;

xciii. sulphathiazole;

xciv. sulfathiazole Salt;

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xcv. thiocyanate;
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xcvi. 3-methylbenzyl thiocyanate;

xcvii. methimazole;

xeviii. dicarboxylic hydroxyacids;

xcix. 1,3-acetonedicarboxylic acid;

c. D-tartaric acid;

ci. L-tartaric acid;

cii. D, L-tartaric acid;

ciii. potassium tartarate;

civ. D-malic acid;

cv. L-malic acid;

cvi. D, L-malic acid;

cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylanaline, phenylglycine, tyrosine, serine, aspartate, and salts thereof;

cviii. pyruvic acid;

cix. sodium pyruvate;

cx. pyruvic acid methyl ester;

cxi. phenylpyruvic acid;

cxii. calcium phenylpyruvate;

cxiii. phenylpyruvic acid sodium salt;

cxiv. 4-hydroxyphenyl pyruvic acid;

cxv. sodium alpha-ketoisovaleric acid;

cxvi. benzoylformic acid);

cxvii. 4-methylthio-2-oxopentanoic acid;

cxviii. 4-methyl-2-oxopentanoic acid;

cxix. 4-methylthio-2-oxybutanoic acid;

exx. 2-oxybutanoic acid;

exxi. D, L-alpha-hydroxybutyric acid sodium salt;

cxxii. indole-3-pyruvic acid;

cxxiii. cysteamine;

cxxiv. pantetheine;

cxxv. S-adenosylmethionine;

cxxvi. ethyl bromopyruvate;

cxxvii. methyl bromopyruvate;

cxxviii. bromopyruvate; and

cxxix. 5 -S-cysteinyldopamine.

36 (Withdrawn). The method according to claim 33, wherein said compound is selected from the group consisting of benzoate, aminoethylcysteine-ketimine; aminoethylcysteine (thialysine); cysteamine; pathetheine; cystathionine S-adenosylmethionine, and derivatives thereof.

37 (Withdrawn). A method of reducing the activity of a D-amino acid oxidase polypeptide (DAO) or a D-aspartate oxidase (DDO) polypeptide comprising the administration of a composition comprising a carrier and a compound that reduces the activity of said polypeptide.

38 (Withdrawn). The method according to claim 37, wherein said compound is selected from the group consisting of:

(1) a compound represented by the structure:

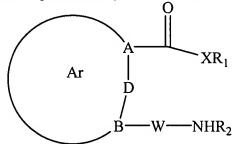
$$Ar$$
 $Ar$ 
 $Ar$ 
 $R_1$ 

or pharmaceutically acceptable salts thereof, wherein:

a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with  $C_1$ - $C_6$  alkyl, halo, hydroxyl or amino;

- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub> CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- d) R<sub>4</sub> is H, alkyl, Ar<sup>1</sup>, O, or a substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or a substituted alkyl;
- f) R<sub>2</sub> and R<sub>3</sub> are each independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or aklenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(2) a compound represented by the structure:

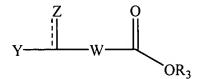


- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(CH_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0 NHR<sub>2</sub> is covalently bound to B;
- c) X is O or N;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or substituted alkyl;
- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo.
- Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(3) a compound represented by the structure:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof:
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N,  $(CH_2)_x$ , or  $-NCH_2$ ;
- e) x=0-4;
- f) n=0-2;

- g) R<sub>2</sub> and R<sub>3</sub> are each, independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (4) a compound represented by the structure:



- a)  $W=(CH_2)_n$ ;
- b) n=0-5;
- c) Z is oxygen or hydroxyl;
- d) Y=H,  $Ar^1$ ,  $R_4$  (CH<sub>2</sub>)<sub>x</sub>,  $R_1S(CH_2)_{x^{--}}$ ,  $R_1SO(CH_2)_{x^{--}}$ ,  $R_1SO_2(CH_2)_{x^{--}}$ ,  $R_1SO_3(CH_2)_{x^{--}}$ ,  $HNR_1SO_2(CH_2)_{x^{--}}$ ,  $R_1R_2N(CH_2)_{x}$ ,  $R_1O(CH_2)_{x^{--}}$ ,  $CF_3$ , or OH;
- e) x=0-6;
- f) R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are each independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;

- g) R<sub>4</sub> is a halogen, CN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphote, Ar<sup>1</sup>, --COR<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (5) a compound represented by the structure:

$$Ar^1$$
  $W$   $OH$ 

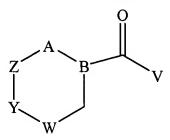
- a)  $Y \text{ is } Ar^1$ ;
- b) Z is a carbonyl or hydroxyl;
- c) W is  $(CH_2)_n$  wherein n = 0, 1, or 2; and
- d) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(6) a compound represented by the structure:

$$A$$
 $B$ 
 $V$ 

- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1$ ,  $R_2$ ,  $CHR_1$ ,  $R_2$ ,  $CH_2$ ,  $R_3$ ,  $CHR_3$ ,  $R_4$ , or  $CH_2$ ,  $R_3$ ;
- c) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or Ar<sup>1</sup>;
- d) R<sub>3</sub> and R<sub>4</sub> are either halogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, SR<sub>1</sub>, SO<sub>2</sub>NHCN, or N<sub>3</sub> and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(7) a compound represented by the structure:



- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof:
- b) B is either C, CH, or N;
- c) A, W, Y, Z are each independently CH<sub>2</sub>, CHR<sub>3</sub>, CR<sub>3</sub>R<sub>4</sub>, O, S, SO, SO<sub>2</sub>, NH, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, or C=O;
- d) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1R_2$ ,  $CHR_1R_2$ ,  $CH_2R_3$ ,  $CHR_3R_3$  or  $CH_2N_3$ ;
- e) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- f) R<sub>3</sub> and R<sub>4</sub> are each independently halogen, --OC(O)R<sub>1</sub>, -- COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a

combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

(8) a compound represented by the structure:

$$R_2$$
 $H$ 
 $ZR_1$ 

or pharmaceutically acceptable salts thereof, wherein:

- a) Z is O or NH;
- b)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxycarbonylmethyl;
- c) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen,

wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl, Ar<sup>1</sup>, or a combination thereof;

- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo,

hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

(9) a compound represented by the structure:

$$R_2$$
 $N$ 
 $*$ 
 $OR_1$ 
 $X$ 
 $H$ 

- a) \* = asymmetric center;
- b)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- c) X is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, or Ar<sup>1</sup>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

(10) a compound represented by the structure:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, or substituted alkyl groups;
- c)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (11) a compound represented by the structure:

$$R_2$$
 $N$ 
 $OR_1$ 
 $OR_1$ 

- a) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- c) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; and
- (12) a compound represented by the structure:

$$R_2$$
—NH  $O$ 
 $OR_1$ 

- a)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- Y is H,  $Ar^1$ ,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, or halogen, wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms

selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times; and

d) X is alkyl or phenyl;

and wherein said polypeptide sequence selected from the group consisting of SEQ ID NOS: 7 to 10, 21 and 22.

39 (Withdrawn). The method according to claim 37, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanylhydrazone);
- ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetylglycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;

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xxii. indole-3-pyruvic acid;
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xxiii. salicylic acid;

xxiv. salicylic acid sodium salts;

xxv. salicylic acid potassium salts;

xxvi. dansyl chloride;

xxvii. dansyl fluoride;

xxviii. dansyl glycine;

xxix. alanine tetrazole;

xxx. benzoic tetrazole;

xxxi. tetrazole;

xxxii. riboflavin 5'-pyrophosphate;

xxxiii. D, L-propargylglycine;

xxxiv. L-C-propargylglycine;

xxxv. N-acetyl-DL-proparglyglycine;

xxxvi. (±)-sodium 3-hydroxybutyrate;

xxxvii. trigonelline hydrochloride;

xxxviii. N-methylnicotinate;

xxxix. methyl 6 -methylnicotinate;

xl. ethyl 2-methylnicotinate;

xli. kojic acid;

xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;

xliii. O-(2,4-dinitrophenyl)hydroxylamine;

xliv. 2,4-dinirophenyl glycine;

xlv. hydroxylamine hydrochloride;

xlvi. methyl-p-nitrobenzenesulfonate;

xlvii. aminoethylcysteine-ketimine;

xlviii. 1,4-thiazine derivatives;

xlix. 4-phenyl-1,4-sulfonazan;

- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- liii. 3-(1-pyrrolidinylmethy)-4-(5,6-dichloro-1-indancarbonyl)-tetrahydro-1,4-thiazine hydrochloride;
  - liv. ketimine reduced forms;
  - lv. cystathionine;
  - lvi. cystathionine ketimine;
  - lix. lanthionine ketimine;
  - lx. thiomorpholine-2-carboxylic acid;
  - lix. thiomorpholine-2,6-dicarboxylic acid;
  - lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);
  - lxi. 1-chloro-1-nitroethane;
  - lxii. anthranilate;
  - lxiii. ethyl 2-aminobenzoate;
  - lxiv. methyl 2-aminobenzoate;
  - lxv. picolinate;
  - lxvi. ethyl picolinate;
  - lxvii. L-leucine methyl ester hydrochloride;
  - lxviii. L-leucine;
  - lxix. flurodinitrobenzene;
  - lxx. dinitrochlorobenzene;
  - lxxi. 1,2-cyclohexanedione;
  - lxxii. allyglycine;
  - lxxiii. 2-amino-2,4-pentadienoate;
  - lxxiv. 2-hydroxy-2,4-pentadienoate;
  - lxxv. 2-amino-4-keto-2-pentenoate;
  - lxxvi. 2-hydroxybutyrate;
  - lxxvii. sodium 2-hydroxybutyrate;

lxxviii. N-chloro-D-leucine;

lxxix. N-acetyl-D-leucine;

lxxx. D-2-amino-4-methylpentanoic acid;

lxxxi. D, L-propargylglycine;

lxxxii. progesterone;

lxxxiii. FAD (flavin adenine dinucleotide);

lxxxiv. 6-OH-FAD;

lxxxv. phenylglyoxal;

lxxxvi. phenylglyoxal monohydrate;

lxxxvii. cyclothionine;

lxxxviii. alpha-alpha'-iminodipropionic;

lxxxix. meso-diaminosuccinic acid;

xc. thiosemicarbazide;

xci. thiourea;

xcii. methylthiouracil;

xciii. sulphathiazole;

xciv. sulfathiazole Salt;

xcv. thiocyanate;

xcvi. 3-methylbenzyl thiocyanate;

xcvii. methimazole;

xeviii. dicarboxylic hydroxyacids;

xcix. 1,3-acetonedicarboxylic acid;

c. D-tartaric acid;

ci. L-tartaric acid;

cii. D, L-tartaric acid;

ciii. potassium tartarate;

civ. D-malic acid;

cv. L-malic acid;

cvi. D, L-malic acid;

cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylanaline, phenylglycine, tyrosine, serine, aspartate, and salts thereof;

cviii. pyruvic acid;

cix. sodium pyruvate;

cx. pyruvic acid methyl ester;

cxi. phenylpyruvic acid;

cxii. calcium phenylpyruvate;

cxiii. phenylpyruvic acid sodium salt;

cxiv. 4-hydroxyphenyl pyruvic acid;

cxv. sodium alpha-ketoisovaleric acid;

cxvi. benzoylformic acid);

cxvii. 4-methylthio-2-oxopentanoic acid;

cxviii. 4-methyl-2-oxopentanoic acid;

cxix. 4-methylthio-2-oxybutanoic acid;

cxx. 2-oxybutanoic acid;

cxxi. D, L-alpha-hydroxybutyric acid sodium salt;

cxxii. indole-3-pyruvic acid;

cxxiii. cysteamine;

cxxiv. pantetheine;

cxxv. S-adenosylmethionine;

cxxvi. ethyl bromopyruvate;

cxxvii. methyl bromopyruvate;

cxxviii. bromopyruvate; and

cxxix. 5 -S-cysteinyldopamine.

40 (Withdrawn). The method according to claim 37, wherein said compound is capable of reducing the oxidation or degradation of D-serine.

41 (Withdrawn). A method of treating an individual suffering from schizophrenia, depression or bipolar disorder comprising administering to said individual a therapeutically effective amount of a composition that reduces the activity of a DAO or DDO polypeptide.

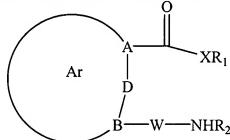
42 (Withdrawn). The method according to claim 41, wherein the compound is selected from the group consisting of:

(1) a compound represented by the structure:

$$Ar$$
 $Ar$ 
 $R_1$ 
 $R_4$ 

- a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with C<sub>1</sub>-C<sub>6</sub> alkyl, halo, hydroxyl or amino;
- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- d) R<sub>4</sub> is H, alkyl, Ar<sup>1</sup>, O, or a substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxycarbonylmethyl, or a substituted alkyl;
- f) R<sub>2</sub> and R<sub>3</sub> are each independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or aklenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (2) a compound represented by the structure:



- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(CH_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0 NHR<sub>2</sub> is covalently bound to B;
- c) X is O or N;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e)  $R^{1}$  is  $C_{1}$ - $C_{6}$  alkyl,  $Ar^{1}$ ,  $C_{1}$ - $C_{4}$  alkoxycarbonylmethyl, or substituted alkyl;

f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7

members; and wherein the heterocyclic ring contains 1-6 heteroatom(s)

selected from the group consisting of O, N, S, and a combination thereof;

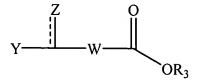
(3) a compound represented by the structure:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof:
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub>

straight or branched chain alkyl,  $C_1$ - $C_6$  straight or branched chain alkenyl, or  $C_1$ - $C_6$  branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate,  $Ar^1$ , or  $N_3$ ;  $R_1$  is CN,  $COR_2$ , -- $CONR_2R_3$ , -- $S(O)_nR_2$ , -- $OPO(OR_2)OR_3$ , -- $PO(OR_3)R_3$ ,

- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N,  $(CH_2)_x$ , or  $-NCH_2$ ;
- e) x=0-4;
- f) n=0-2;
- g) R<sub>2</sub> and R<sub>3</sub> are each, independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(4) a compound represented by the structure:



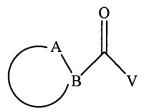
- a)  $W=(CH_2)_n$ ;
- b) n=0-5;
- c) Z is oxygen or hydroxyl;
- d) Y= H,  $Ar^1$ ,  $R_4$  (CH<sub>2</sub>)<sub>x</sub>,  $R_1S(CH_2)_{x^{--}}$ ,  $R_1SO(CH_2)_{x^{--}}$ ,  $R_1SO_2(CH_2)_{x^{--}}$ ,  $R_1SO_3(CH_2)_{x^{--}}$ ,  $HNR_1SO_2(CH_2)_{x^{--}}$ ,  $R_1R_2N(CH_2)_{x}$ ,  $R_1O(CH_2)_{x^{--}}$ ,  $CF_3$ , or OH;
- e) x=0-6;
- f) R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are each independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- g) R<sub>4</sub> is a halogen, CN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphote, Ar<sup>1</sup>, --COR<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

(5) a compound represented by the structure:

$$Ar^1$$
  $W$   $OH$ 

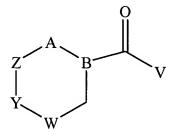
or pharmaceutically acceptable salts thereof, wherein:

- a)  $Y \text{ is } Ar^1$ ;
- b) Z is a carbonyl or hydroxyl;
- c) W is  $(CH_2)_n$  wherein n = 0, 1, or 2; and
- d) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (6) a compound represented by the structure:



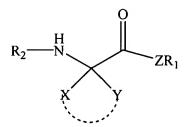
- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1$ ,  $R_2$ ,  $CHR_1R_2$ ,  $CH_2R_3$ ,  $CHR_3R_4$ , or  $CH_2N_3$ ;

- c) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or Ar<sup>1</sup>;
- d) R<sub>3</sub> and R<sub>4</sub> are either halogen, C<sub>1</sub>- C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, SR<sub>1</sub>, SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- (7) a compound represented by the structure:



- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof:
- b) B is either C, CH, or N;

- c) A, W, Y, Z are each independently CH<sub>2</sub>, CHR<sub>3</sub>, CR<sub>3</sub>R<sub>4</sub>, O, S, SO, SO<sub>2</sub>, NH, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, or C=O;
- d) V is O,  $OR_1$ ,  $NR_2$ ,  $NR_1R_2$ ,  $CHR_1R_2$ ,  $CH_2R_3$ ,  $CHR_3R_3$  or  $CH_2N_3$ ;
- e) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- f) R<sub>3</sub> and R<sub>4</sub> are each independently halogen, --OC(O)R<sub>1</sub>, -- COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, -- CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (8) a compound represented by the structure:



a) Z is O or NH;

- b) R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylmethyl;
- c) X, Y, independently of one another, are H,  $Ar^1$ ,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, or halogen,

wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl,  $Ar^1$ , or a combination thereof;

- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (9) a compound represented by the structure:

$$R_2$$
 $N$ 
 $*$ 
 $OR_1$ 

- a) \* = asymmetric center;
- b)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- c) X is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, or Ar<sup>1</sup>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (10) a compound represented by the structure:

$$R_2$$
 $N$ 
 $OR_1$ 

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, or substituted alkyl groups;

- c)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;
- (11) a compound represented by the structure:

$$R_2$$
 $N$ 
 $OR_1$ 
 $OR_1$ 

- a) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- c) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and

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wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; and

(12) a compound represented by the structure:

$$R_2$$
—NH  $O$   $OR_1$ 

or pharmaceutically acceptable salts thereof, wherein:

- a)  $R^1 = C_1 C_6$  alkyl,  $Ar^1$ , or  $C_1 C_4$  alkoxycarbonylmethyl;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- c) Y is H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times; and
- d) X is alkyl or phenyl.

43 (Withdrawn). The method according to claim 41, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;

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viii. methylglyoxal bis(guanylhydrazone);
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- ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetylglycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;
- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;
- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;
- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-proparglyglycine;
- xxxvi. (±)-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;

xxxviii. N-methylnicotinate;

xxxix. methyl 6 -methylnicotinate;

xl. ethyl 2-methylnicotinate;

xli. kojic acid;

xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;

xliii. O-(2,4-dinitrophenyl)hydroxylamine;

xliv. 2,4-dinirophenyl glycine;

xlv. hydroxylamine hydrochloride;

xlvi. methyl-p-nitrobenzenesulfonate;

xlvii. aminoethylcysteine-ketimine;

xlviii. 1,4-thiazine derivatives;

xlix. 4-phenyl-1,4-sulfonazan;

l. phenothiazine;

li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;

lii. nifurtimox;

liii. 3-(1-pyrrolidinylmethy)-4-(5,6-dichloro-1-indancarbonyl)-tetrahydro-1,4-thiazine hydrochloride;

liv. ketimine reduced forms;

lv. cystathionine;

lvi. cystathionine ketimine;

lxi. lanthionine ketimine;

lxii. thiomorpholine-2-carboxylic acid;

lix. thiomorpholine-2,6-dicarboxylic acid;

lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);

lxi. 1-chloro-1-nitroethane;

lxii. anthranilate;

lxiii. ethyl 2-aminobenzoate;

lxiv. methyl 2-aminobenzoate;

lxv. picolinate;

lxvi. ethyl picolinate;

lxvii. L-leucine methyl ester hydrochloride;

lxviii. L-leucine;

lxix. flurodinitrobenzene;

lxx. dinitrochlorobenzene;

lxxi. 1,2-cyclohexanedione;

lxxii. allyglycine;

lxxiii. 2-amino-2,4-pentadienoate;

lxxiv. 2-hydroxy-2,4-pentadienoate;

lxxv. 2-amino-4-keto-2-pentenoate;

lxxvi. 2-hydroxybutyrate;

lxxvii. sodium 2-hydroxybutyrate;

lxxviii. N-chloro-D-leucine;

lxxix. N-acetyl-D-leucine;

lxxx. D-2-amino-4-methylpentanoic acid;

lxxxi. D, L-propargylglycine;

lxxxii. progesterone;

lxxxiii. FAD (flavin adenine dinucleotide);

lxxxiv. 6-OH-FAD;

lxxxv. phenylglyoxal;

lxxxvi. phenylglyoxal monohydrate;

lxxxvii. cyclothionine;

lxxxviii. alpha-alpha'-iminodipropionic;

lxxxix. meso-diaminosuccinic acid;

xc. thiosemicarbazide:

xci. thiourea;

xcii. methylthiouracil;

xciii. sulphathiazole;

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xciv. sulfathiazole Salt;
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xcv. thiocyanate;

xcvi. 3-methylbenzyl thiocyanate;

xcvii. methimazole;

xcviii. dicarboxylic hydroxyacids;

xcix. 1,3-acetonedicarboxylic acid;

c. D-tartaric acid;

ci. L-tartaric acid;

cii. D, L-tartaric acid;

ciii. potassium tartarate;

civ. D-malic acid;

cv. L-malic acid;

cvi. D, L-malic acid;

cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylanaline, phenylglycine, tyrosine, serine, aspartate, and salts thereof;

cviii. pyruvic acid;

cix. sodium pyruvate;

cx. pyruvic acid methyl ester;

cxi. phenylpyruvic acid;

cxii. calcium phenylpyruvate;

cxiii. phenylpyruvic acid sodium salt;

cxiv. 4-hydroxyphenyl pyruvic acid;

cxv. sodium alpha-ketoisovaleric acid;

cxvi. benzoylformic acid);

cxvii. 4-methylthio-2-oxopentanoic acid;

cxviii. 4-methyl-2-oxopentanoic acid;

cxix. 4-methylthio-2-oxybutanoic acid;

cxx. 2-oxybutanoic acid;

cxxi. D, L-alpha-hydroxybutyric acid sodium salt;

cxxii. indole-3-pyruvic acid;

cxxiii. cysteamine;

exxiv. pantetheine;

cxxv. S-adenosylmethionine;

cxxvi. ethyl bromopyruvate;

cxxvii. methyl bromopyruvate;

cxxviii. bromopyruvate; and

cxxix. 5-S-cysteinyldopamine.